PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PC25930A	**FOR FURTHER ACTION**	See Form PCT/IPEA/416
International application No. PCT/IB2005/000597	International filing date *(day/month/year)* 07.03.2005	Priority date *(day/month/year)* 18.03.2004

International Patent Classification (IPC) or national classification and IPC
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Applicant
PFIZER LIMITED

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 7 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☒ *sent to the applicant and to the International Bureau)* a total of 1-16 sheets, as follows:
      ☒ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	Box No. II	Priority
☒	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☒	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
31.03.2005	01.06.2006

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/IB2005/000597

Box No. I Basis of the report

1. With regard to the **language**, this report is based on

   ☒ the international application in the language in which it was filed

   ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of:
   - ☐ international search (under Rules 12.3(a) and 23.1(b))
   - ☐ publication of the international application (under Rule 12.4(a))
   - ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a))

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

   Description, Pages

   1-141 as originally filed

   Claims, Numbers

   1-15 received on 19.01.2006 with letter of 18.01.2006

   ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

* *If item 4 applies, some or all of these sheets may be marked "superseded."*

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 15 (as to industrial applicability only)

because:

☒ the said international application, or the said claims Nos. 15 relate to the following subject matter which does not require an international preliminary examination *(specify)*:

see separate sheet

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed *(specify).*

☐ no international search report has been established for the said claims Nos.

☐ a meaningful opinion could not be formed without the sequence listing; the applicant did not, within the prescribed time limit:

☐ furnish a sequence listing on paper complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ furnish a sequence listing in electronic form complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ pay the required late furnishing fee for the furnishing of a sequence listing in response to an invitation under Rules 13*ter*.1(a) or (b) and 13*ter*.2.

☐ a meaningful opinion could not be formed without the tables related to the sequence listings; the applicant did not, within the prescribed time limit, furnish such tables in electronic form complying with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions, and such tables were not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in electronic form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☐ See separate sheet for further details

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/IB2005/000597

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-15
	No:	Claims	-
Inventive step (IS)	Yes:	Claims	-
	No:	Claims	1-15
Industrial applicability (IA)	Yes:	Claims	1-14
	No:	Claims	-

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

Re Item III.

Claim 15 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(I) PCT).

Re Item V.

Reference is made to the following documents:

D01 : EP 1 319 657 A (NIHON NOHYAKU CO., LTD.) 18 June 2003 (2003-06-18)

D02 : DE 195 11 269 A (CIBA-GEIGY AG) 5 October 1995 (1995-10-05)

D03 : DATABASE WPI, Section Ch, Week 199340, Derwent Publications Ltd., London, GB; Class C02, AN 1993-317444, XP002330928 (JP 05 230029 A (UBE IND LTD) 7 September 1993 (1993-09-07))

D04 : DATABASE CAPLUS, CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002330924, Database accession no. 1965:431646

D05 : DATABASE CAPLUS, CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002330925, Database accession no. 1969:36415

D06 : DATABASE CAPLUS, CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002330926, Database accession no. 1964:3141

D07 : DATABASE CROSSFIRE, BEILSTEIN INSTITUT ZUR FOERDERUNG DER CHEMISCHEN WISSENSCHAFTEN; XP002330927

D08 : GUARNERI M ET AL: "Contributo alla conoscenza di pirazolsulfonamidi" ANNALI DI CHIMICA, vol. 49, 1959, pages 958-963, XP008048105

D09 : KOCH A ET AL: "QSAR and molecular modelling for a series of isomeric X-sulfanilamido-1-phenylpyrazoles" QUANTITATIVE STRUCTURE-ACTIVITY RELATIONSHIPS, vol. 12, no. 4, 1993, pages 373-382, XP008048108

D10 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota VIII" FARMACO, EDIZIONE SCIENTIFICA, vol. 21, no. 12, 1966, pages 883-891, XP008048107

D11 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota VI" FARMACO, EDIZIONE SCIENTIFICA, vol. 19, no. 7, 1964, pages 618-637, XP008048116

D12 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota V" FARMACO, EDIZIONE

SCIENTIFICA, vol. 19, no. 5, 1964, pages 459-473, XP008048115

D13 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota IV" FARMACO, EDIZIONE SCIENTIFICA, vol. 17, no. 6, 1962, pages 460-467, XP008048106

D14 : ALBERTI C ET AL: "Sulanilamidi pirazoliche. Nota XIII" FARMACO, EDIZIONE SCIENTIFICA, vol. 29, no. 12, 1974, pages 957-966, XP002330922

D15 : ALBERTI C ET ET: "Sulfanilamidi pirazoliche. Nota XI" FARMACO, EDIZIONE SCIENTIFICA, vol. 26, no. 1, 1971, pages 66-88, XP002330923

D16 : FUSCO R ET AL: "Sintesi e proprieta' farmacologiche di composti pirazolici. Nota I" FARMACO, EDIZIONE SCIENTIFICA, vol. 23, no. 19, 1968, pages 919-944, XP001085259

Novelty (Article 33(2) PCT)

The available prior art D01-D16 does not disclose 3-substituted-4-sulphonylamino-pyrazoles according to claim 1, or the use of 4-sulphonylamino-pyrazoles according to claim 14 for preparing a parasiticidal medicament: the subject-matter of claims 1-15 is therefore novel.

Inventive step (Article 33(3) PCT)

The subject-matter of claims 1-15 does not involve an inventive step:

D1, which is considered to represent the closest prior art, describes N-(4-pyrazolyl) amides useful as insecticides or nematocides, see in particular claims 1 and 10.

In the light of the disclosure of D1 the problem underlying the present application can be seen in the provision of further pesticides.

To solve this problem, the present application proposes to replace the amide group of the compounds of D1 by a sulphonamide group.

Such a structural modification is however an obvious measure in the design of further pesticidal compounds, particularly in view of the teachings of D2 (see the definition of R_3)

and of D3 (see example 8), which does not involve an inventive step in the absence of substantiated, directly resulting, unexpected effects.

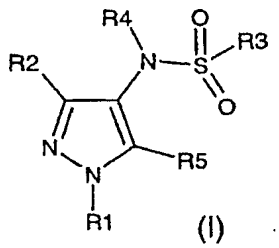
Industrial applicability (Article 33(4) PCT)

The compounds, compositions and methods of claims 1-14 can be applied in the chemical industry.

For the assessment of the present claim 15 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States.

CLAIMS

1. A compound of formula (I) or a pharmaceutically, veterinarily or agriculturally acceptable salt or solvate thereof,



(I)

wherein:

R^1 represents phenyl or heteroaryl, optionally substituted by one or more groups independently selected from halo, cyano, hydroxy, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl, $-S(O)_nC_{1-6}$alkyl, $-S(O)_nC_{1-6}$haloalkyl and pentafluorothio;

R^2 represents ~~hydrogen~~, halo, cyano, nitro, ~~C_{1-6} alkyl~~, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{2-6} alkynyl, C_{2-6} haloalkynyl, $-S(O)_nC_{1-6}$ alkyl, $-S(O)_nC_{1-6}$haloalkyl, $-(C_{0-3}$alkylene$)-C_{3-8}$ cycloalkyl, C_{1-6} alkanoyl, optionally substituted by C_{1-6} alkoxy, C_{1-6} haloalkanoyl, optionally substituted by C_{1-6} alkoxy, phenyl, het, $-(C_{0-3}$alkylene$)-N(R^a)R^b$, $-(C_{0-3}$alkylene$)-C(O)NR^aR^b$ or $-(C_{0-3}$alkylene$)-N(R^c)C(O)R^6$;

R^3 represents C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, $-(C_{0-3}$alkylene$)-C_{3-8}$ cycloalkyl , $-(C_{1-3}$alkylene$)-S(O)_nC_{1-6}$alkyl, $-(C_{1-3}$alkylene$)-S(O)_nC_{1-6}$haloalkyl, $-(C_{0-3}$alkylene$)-N(R^a)R^b$, $-(C_{0-3}$alkylene$)$-phenyl, $-(C_{0-3}$alkylene$)$-het, $-(C_{2-3}$alkenylene$)$-phenyl, $-(C_{2-3}$alkenylene$)$-het, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl or $-N(R^c)CO_2R^6$;

R^4 represents hydrogen, C_{1-6} alkyl, C_{1-6}haloalkyl, $-(C_{0-3}$alkylene$)-R^7$ or $-(C_{1-3}$alkylene$)-R^8$;

or R^3 and R^4 taken together with the nitrogen and sulphur atoms to which they are attached form a 4 to 7-membered ring;

R^5 represents hydrogen, hydroxy, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, $-N=C(R^{10})(C_{0-5}alkylene)-R^{11}$ or $-N(R^{12})R^{13}$;

R^6 represents C_{1-6} alkyl or C_{1-6} haloalkyl;

R^7 represents C_{3-8}cycloalkyl, $-S(O)_nR^9$, phenyl, het, $-CO_2R^6$ or $C(O)N(R^a)R^b$;

R^8 represents hydroxy, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cyano, $-N(R^a)R^b$ or $-O-C(O)R^6$;

R^9 represents C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8}cycloalkyl, $-N(R^a)R^b$, phenyl or het;

R^{10} represents hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl;

R^{11} represents hydrogen, hydroxy, C_{1-3}alkoxy, $-N(R^a)R^b$, phenyl, het or C_{3-8}cycloalkyl, with the proviso that $-N=C(R^{10})(C_{0-5}alkylene)-R^{11}$ is not $-N=CH_2$;

R^{12} represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkenyl or C_{1-6} haloalkenyl;

R^{13} represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkenyl, C_{1-6} haloalkenyl C_{3-8}cycloalkyl, phenyl, het, $-(C_{1-6}alkylene)-R^{14}$, $-C(O)_pR^{15}$ or $-CON(R^{16})(C_{1-6}alkylene)-R^{17}$;

R^{14} represents hydroxy, C_{1-3}alkoxy, C_{1-3}haloalkoxy, C_{3-8}cycloalkyl, phenyl, het or $-N(R^a)R^b$;

R^{15} represents C_{1-6} alkyl, C_{1-6} haloalkyl or $-(C_{1-6}alkylene)-C_{1-3}alkoxy$;

R^{16} represents hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl;

R^{17} represents hydrogen or $N(R^a)R^b$;

R^a and R^b independently represent hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl or C_{2-6} haloalkenyl, or R^a additionally represents $-(C_{0-3}alkylene)-C_{3-8}$ cycloalkyl, $-(C_{0-3}alkylene)-$phenyl or $-(C_{0-3}alkylene)-$het, or together R^a and R^b form a 4- to 7-

membered ring, optionally substituted by one or more groups independently selected from halo, hydroxy, C_{1-6} alkyl, C_{1-6}haloalkyl, C_{1-6} alkoxy and C_{1-6}haloalkoxy;

R^c represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, -(C_{0-3}alkylene)–C_{3-8} cycloalkyl, -(C_{0-3}alkylene)–phenyl or -(C_{0-3}alkylene)–het;

n represents an integer selected from 0, 1 and 2;

p represents an integer selected from 1 and 2;

where het represents a four- to seven-membered heterocyclic group, which is aromatic or non-aromatic and which contains one or more heteroatoms selected from nitrogen, oxygen, sulfur and mixtures thereof;

where heteroaryl represents a 5 or 6 membered aromatic ring which contains 1-3 heteroatoms selected from N, O and S or 4-N atoms to form a tetrazolyl;

where both phenyl and het may be optionally substituted, where the valence allows, by one or more substituents independently selected from halo, hydroxy, cyano, nitro, C_{1-6} alkyl, C_{1-6}haloalkyl, C_{1-6} alkenyl, C_{1-6}haloalkenyl, C_{1-6}alkoxy, C_{1-6}haloalkoxy, C_{3-8} cycloalkyl, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl, C_{1-6} alkylcarbonyloxy, C_{1-6} alkoxycarbonyl and NR^aR^b;

where C_{3-8}cycloalkyl may be optionally substituted by one or more groups independently selected from halo, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{1-6} alkenyl, C_{1-6}haloalkenyl, hydroxy, C_{1-6}alkoxy and C_{1-6}haloalkoxy; and

where any alkylene or alkenylene group may be optionally substituted by one or more halo.

2. A compound according to claim 1, wherein R^1 is a phenyl group which bears chloro substituents at the 2- and 6-positions, and a substitutent at the 4-position selected from trifluoromethyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio and pentafluorothio.

3. A compound according to claim 1 or 2, wherein R^2 is selected from ~~hydrogen~~ cyano, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, e.g. cyclopropyl, C_{1-6} alkanoyl and $-C(O)N(R^a)R^b$.

4. A compound according to claim 3, wherein R^2 is cyano.

5. A compound according to any one of claims 1-4, wherein R^3 is selected from C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8} cycloalkyl, $-(C_{1-3}alkylene)-S(O)_nC_{1-6}alkyl$, $-N(R^a)R^b$, C_{1-6} alkanoyl, $-N(R^a)CO_2R^6$, phenyl, optionally substituted by one or more halo, and benzyl.

6. A compound according to claim 5, wherein R^3 is methyl.

7. A compound according to any one of claims 1-6, wherein R^4 is selected from hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, $-(C_{0-3}alkylene)-C_{3-8}$ cycloalkyl, cyanomethyl, 2-hydroxyethyl, $-(C_{1-2}alkylene)$-het, $-(C_{0-3}alkylene)$-phenyl, $-(C_{0-1}alkylene)-S(O)_nR^9$, $-(C_{1-3}alkylene)-O-C(O)R^6$, $-(C_{1-3}alkylene)-C(O)N(R^a)R^b$ and $-CO_2R^6$.

8. A compound according to claim 7, wherein R^4 is selected from hydrogen, methyl, ethyl, trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoroethyl, methylsulfonyl, trifluoromethylsulfonyl, 2,2,2-trifluoroethylsulfonyl, aminosulfonyl, N,N-dimethylaminosulfonyl, methylsulfonymethyl, cyclopropyl, cyclobutyl, cyclopropylmethyl, 1-(trifluoromethyl)cyclopropylmethyl, cyanomethyl, methoxycarbonyl, triazolylethyl, pyrimidin-4-ylmethyl, 1,2,4-oxadiazol-3-ylmethyl, pyrazol-3-ylmethyl, 1-methyl-1H-imidazol-2-yl, 5-methyl-isoaxazol-3-ylmethyl, 2-pyridin-4-ylethyl, aminocarbonylmethyl, benzyl and 4-fluorobenzyl.

9. A compound according to any one of claims 1-8, wherein R^5 is selected from hydrogen, halo, C_{1-6} alkoxy, $-N=C(H)R^{11}$, where R^{11} is ethoxy, N,N-dimethyl or phenyl, and $-NR^{12}R^{13}$.

10. A compound according to claim 9, wherein R^5 is amino.

11. A compound of formula (I) selected from:

N-{5-amino-3-cyano-1-[2,6-dichloro-4pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2-difluoroethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-1,1,1-trifluoro-*N*-methylmethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-3,4-difluorobenzenesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(cyclopropylmethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(cyanomethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(pyridin-2-ylmethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-benzylmethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-[2-(dimethylamino)ethyl]methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-1-(methylsulfonyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(2-hydroxyethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-[(methylthio)methyl]methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(methylsulfonyl)cyclopropanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-[(dimethylamino)sulfonyl]methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-1-phenylmethanesulfonamide;

(*E*)-*N*-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-2-phenylethylenesulfonamide;

N-[5-amino-1-[2,6-dichloro-4-pentafluorothiophenyl]-3-(trifluoromethyl)-1H-pyrazol-4-yl]-N-(methylsulfonyl)methanesulfonamide;

5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(1,1-dioxidoisothiazolidin-2-yl)-1*H*-pyrazole-3-carbonitrile;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-1,1,1-trifluoro-*N*-methylmethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(cyclopropylmethyl)-1,1,1-trifluoromethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-1,1,1-trifluoro-*N*-(methylsulfonyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-cyclobutyl-1,1,1-trifluoromethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-1,1,1-trifluoro-*N*-methylmethanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-[2-(1*H*-1,2,4-triazol-1-yl)ethyl]methanesulfonamide;

5-amino-4-[bis(methylsulfonyl)amino]-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazole-3-carboxamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-acetyl-5-amino-1-[2,6-dichloro-4-pentafluorothiophenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(difluoromethoxy)phenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-{[1-(trifluoromethyl)cyclopropyl]methyl}methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(methylsulfonyl)ethanesulfonamide;

methyl 5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl(methylsulfonyl)carbamate;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-methylmethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2-fluoroethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(1,2,4-oxadiazol-3-ylmethyl)methanesulfonamide;

N^2-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-N^2-(methylsulfonyl)glycinamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(1*H*-pyrazol-3-ylmethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,3,3,3-pentafluoropropyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2-pyrrolidin-1-ylethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2-morpholin-4-ylethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-[(1-methyl-1*H*-imidazol-2-yl)methyl]methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-[(5-methylisoxazol-3-yl)methyl]methanesulfonamide;

[{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}(methylsulfonyl)amino]methyl pivalate;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-ethylmethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-benzylmethanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(4-fluorobenzyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-1-(methylsulfonyl)ethanesulfonamide;

N-{5-amino-1-[2-chloro-4-pentafluorothio-phenyl]-3-cyano-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

5-amino-1-[2,6-dichloro-4-pentafluorothiophenyl]-4-(1,1-dioxido-1,2-thiazinan-2-yl)-1*H*-pyrazole-3-carbonitrile;

N-{5-(benzylamino)-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-4-[(methylsulfonyl)(2,2,2-trifluoroethyl)amino]-1*H*-pyrazol-5-yl}-2-methoxyacetamide;

ethyl 4-[bis(methylsulfonyl)amino]-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-5-ylimidoformate;

N-{3-cyano-5-[(cyclopropylmethyl)amino]-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-4-[(methylsulfonyl)(2,2,2-trifluoroethyl)amino]-1*H*-pyrazol-5-yl}acetamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-methoxy-1*H*-pyrazol-4-yl}methanesulfonamide;

N-[3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(methylamino)-1H-pyrazol-4-yl]-N-(methylsulfonyl)methanesulfonamide;

N-(3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-{[(dimethylamino)methylene]amino}-1H-pyrazol-4-yl)-N-(methylsulfonyl)methanesulfonamide;

N-(3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-{[2-(dimethylamino)ethyl]amino}-1H-pyrazol-4-yl)-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-[(2-pyrrolidin-1-ylethyl)amino]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-[(2-morpholin-4-ylethyl)amino]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-[(2-piperidin-1-ylethyl)amino]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

N-{5-amino-3-cyclopropyl-1-[2,6-dichloro-4-pentafluorothiophenyl]-1H-pyrazol-4-yl}-N-(methylsulfonyl)methanesulfonamide;

~~*N*-{5-amino-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}methanesulfonamide;~~

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-[(pyridin-4-ylmethyl)amino]-1*H*-pyrazol-4-yl}methanesulfonamide;

tert-butyl ({5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}amino)sulfonylcarbamate;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2-pyridin-4-ylethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(pyrazin-2-ylmethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-[(6-aminopyridin-3-yl)methyl]methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-2-oxo-*N*-(2,2,2-trifluoroethyl)propane-1-sulfonamide;

N-(3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-{[3-(dimethylamino)propyl]amino}-1*H*-pyrazol-4-yl)-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;

N-{3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-[(2-piperidin-1-ylethyl)amino]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}sulfamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-4-fluoro-*N*-(methylsulfonyl)benzenesulfonamide;

N-{5-amino-3-cyano-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-1*H*-pyrazol-4-yl}-2,4-difluoro-*N*-(methylsulfonyl)benzenesulfonamide;

methyl 3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-4-[(methylsulfonyl)(2,2,2-trifluoroethyl)amino]-1*H*-pyrazol-5-ylcarbamate;

N-{5-({[(2-aminoethyl)amino]carbonyl}amino)-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;

trifluoroacetate salt of *N*-{5-[(2-azetidin-1-ylethyl)amino]-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;
N-(3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-{[(2,4-dihydroxyphenyl)methylene]amino}-1*H*-pyrazol-4-yl)-*N*-(2,2,2-trifluoroethyl)methanesulfonamide;
N-{5-chloro-3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-1*H*-pyrazol-4-yl}-*N*-(2,2,2-trifluoroethyl)methanesulfonamide; or
N-(3-cyano-1-[2,6-dichloro-4-pentafluorothiophenyl]-5-{[3-(dimethylamino)ethyl]amino}-1H-pyrazol-4-yl)-N-(methylsulfonyl)methanesulfonamide;
or a pharmaceutically acceptable salt or solvate thereof.

12. A pharmaceutical or veterinary composition comprising a compound according to any one of claims 1-11, or a pharmacologically or veterinarily acceptable salt or solvate thereof, and a suitable excipient or carrier.

13. A compound according to any one of claims 1-11, or a pharmacologically or veterinarily acceptable salt or solvate thereof, for use in medical therapy.

~~14. Use of a compound according to any one of claims 1-11, or a pharmacologically or veterinarily acceptable salt or solvate thereof, in the manufacture of a human or animal parasiticidal medicament.~~

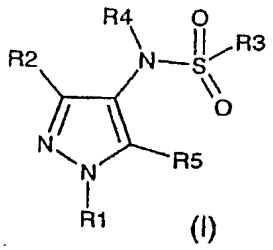
~~15. A method of treating a human or animal parasitic infection comprising administration of a therapeutically acceptable amount of compound according to any one claims 1-11, or a pharmacologically or veterinarily acceptable salt or solvate thereof.~~

~~WO 2005/090313~~ 152 ~~142~~ ~~PCT/IB2005/000597~~

14. Use of a compound of formula (I)

~~CLAIMS~~

~~1. A compound of formula (I)~~ or a pharmaceutically, veterinarily or agriculturally acceptable salt or solvate thereof,



(I)

wherein:

R^1 represents phenyl or heteroaryl, optionally substituted by one or more groups independently selected from halo, cyano, hydroxy, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl, $-S(O)_nC_{1-6}$alkyl, $-S(O)_nC_{1-6}$haloalkyl and pentafluorothio;

R^2 represents hydrogen, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{2-6} alkynyl, C_{2-6} haloalkynyl, $-S(O)_nC_{1-6}$ alkyl, $-S(O)_nC_{1-6}$haloalkyl, $-(C_{0-3}$alkylene$)-C_{3-8}$ cycloalkyl, C_{1-6} alkanoyl, optionally substituted by C_{1-6} alkoxy, C_{1-6} haloalkanoyl, optionally substituted by C_{1-6} alkoxy, phenyl, het, $-(C_{0-3}$alkylene$)-N(R^a)R^b$, $-(C_{0-3}$alkylene$)-C(O)NR^aR^b$ or $-(C_{0-3}$alkylene$)-N(R^c)C(O)R^6$;

R^3 represents C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, $-(C_{0-3}$alkylene$)-C_{3-8}$ cycloalkyl, $-(C_{1-3}$alkylene$)-S(O)_nC_{1-6}$alkyl, $-(C_{1-3}$alkylene$)-S(O)_nC_{1-6}$haloalkyl, $-(C_{0-3}$alkylene$)-N(R^a)R^b$, $-(C_{0-3}$alkylene$)$-phenyl, $-(C_{0-3}$alkylene$)$-het, $-(C_{2-3}$alkenylene$)$-phenyl, $-(C_{2-3}$alkenylene$)$-het, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl or $-N(R^c)CO_2R^6$;

R^4 represents hydrogen, C_{1-6} alkyl, C_{1-6}haloalkyl, $-(C_{0-3}$alkylene$)-R^7$ or $-(C_{1-3}$alkylene$)-R^8$;

or R^3 and R^4 taken together with the nitrogen and sulphur atoms to which they are attached form a 4 to 7-membered ring;

R^5 represents hydrogen, hydroxy, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, $-N=C(R^{10})(C_{0-5}alkylene)-R^{11}$ or $-N(R^{12})R^{13}$;

R^6 represents C_{1-6} alkyl or C_{1-6} haloalkyl;

R^7 represents C_{3-8}cycloalkyl, $-S(O)_nR^9$, phenyl, het, $-CO_2R^6$ or $C(O)N(R^a)R^b$;

R^8 represents hydroxy, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cyano, $-N(R^a)R^b$ or $-O-C(O)R^6$;

R^9 represents C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8}cycloalkyl, $-N(R^a)R^b$, phenyl or het;

R^{10} represents hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl;

R^{11} represents hydrogen, hydroxy, C_{1-3}alkoxy, $-N(R^a)R^b$, phenyl, het or C_{3-8}cycloalkyl, with the proviso that $-N=C(R^{10})(C_{0-5}alkylene)-R^{11}$ is not $-N=CH_2$;

R^{12} represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkenyl or C_{1-6} haloalkenyl;

R^{13} represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkenyl, C_{1-6} haloalkenyl C_{3-8}cycloalkyl, phenyl, het, $-(C_{1-6}alkylene)-R^{14}$, $-C(O)_pR^{15}$ or $-CON(R^{16})(C_{1-6}alkylene)-R^{17}$;

R^{14} represents hydroxy, C_{1-3}alkoxy, C_{1-3}haloalkoxy, C_{3-8}cycloalkyl, phenyl, het or $-N(R^a)R^b$;

R^{15} represents C_{1-6} alkyl, C_{1-6} haloalkyl or $-(C_{1-6}alkylene)-C_{1-3}alkoxy$;

R^{16} represents hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl;

R^{17} represents hydrogen or $N(R^a)R^b$;

R^a and R^b independently represent hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl or C_{2-6} haloalkenyl, or R^a additionally represents $-(C_{0-3}alkylene)-C_{3-8}$ cycloalkyl, $-(C_{0-3}alkylene)-$phenyl or $-(C_{0-3}alkylene)-$het, or together R^a and R^b form a 4- to 7-

membered ring, optionally substituted by one or more groups independently selected from halo, hydroxy, C_{1-6} alkyl, C_{1-6}haloalkyl, C_{1-6} alkoxy and C_{1-6}haloalkoxy;

R^c represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, -(C_{0-3}alkylene)–C_{3-8} cycloalkyl, -(C_{0-3}alkylene)–phenyl or -(C_{0-3}alkylene)–het;

n represents an integer selected from 0, 1 and 2;

p represents an integer selected from 1 and 2;

where het represents a four- to seven-membered heterocyclic group, which is aromatic or non-aromatic and which contains one or more heteroatoms selected from nitrogen, oxygen, sulfur and mixtures thereof;

where heteroaryl represents a 5 or 6 membered aromatic ring which contains 1-3 heteroatoms selected from N, O and S or 4-N atoms to form a tetrazolyl;

where both phenyl and het may be optionally substituted, where the valence allows, by one or more substituents independently selected from halo, hydroxy, cyano, nitro, C_{1-6} alkyl, C_{1-6}haloalkyl, C_{1-6} alkenyl, C_{1-6}haloalkenyl, C_{1-6}alkoxy, C_{1-6}haloalkoxy, C_{3-8} cycloalkyl, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl, C_{1-6} alkylcarbonyloxy, C_{1-6} alkoxycarbonyl and NR^aR^b;

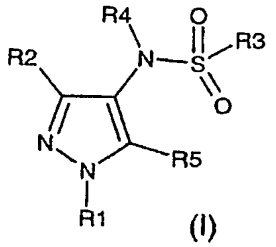
where C_{3-8}cycloalkyl may be optionally substituted by one or more groups independently selected from halo, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{1-6} alkenyl, C_{1-6}haloalkenyl, hydroxy, C_{1-6}alkoxy and C_{1-6}haloalkoxy; and

where any alkylene or alkenylene group may be optionally substituted by one or more halo/

in the manufacture of a human or animal parasiticidal medicament.

15. A method of treating a human or animal parasitic infection comprising administration of a therapeutically acceptable amount of a ~~CLAIMS~~

~~1. A~~ compound of formula (I) or a pharmaceutically, veterinarily or agriculturally acceptable salt or solvate thereof,



(I)

wherein:

R^1 represents phenyl or heteroaryl, optionally substituted by one or more groups independently selected from halo, cyano, hydroxy, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl, $-S(O)_nC_{1-6}$alkyl, $-S(O)_nC_{1-6}$haloalkyl and pentafluorothio;

R^2 represents hydrogen, halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{2-6} alkynyl, C_{2-6} haloalkynyl, $-S(O)_nC_{1-6}$ alkyl, $-S(O)_nC_{1-6}$haloalkyl, $-(C_{0-3}$alkylene$)-C_{3-8}$ cycloalkyl, C_{1-6} alkanoyl, optionally substituted by C_{1-6} alkoxy, C_{1-6} haloalkanoyl, optionally substituted by C_{1-6} alkoxy, phenyl, het, $-(C_{0-3}$alkylene$)-N(R^a)R^b$, $-(C_{0-3}$alkylene$)-C(O)NR^aR^b$ or $-(C_{0-3}$alkylene$)-N(R^c)C(O)R^6$;

R^3 represents C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, $-(C_{0-3}$alkylene$)-C_{3-8}$ cycloalkyl , $-(C_{1-3}$alkylene$)-S(O)_nC_{1-6}$alkyl, $-(C_{1-3}$alkylene$)-S(O)_nC_{1-6}$haloalkyl, $-(C_{0-3}$alkylene$)-N(R^a)R^b$, $-(C_{0-3}$alkylene$)$-phenyl, $-(C_{0-3}$alkylene$)$-het, $-(C_{2-3}$alkenylene$)$-phenyl, $-(C_{2-3}$alkenylene$)$-het, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl or $-N(R^c)CO_2R^6$;

R^4 represents hydrogen, C_{1-6} alkyl, C_{1-6}haloalkyl, $-(C_{0-3}$alkylene$)-R^7$ or $-(C_{1-3}$alkylene$)-R^8$;

or R^3 and R^4 taken together with the nitrogen and sulphur atoms to which they are attached form a 4 to 7-membered ring;

R^5 represents hydrogen, hydroxy, halo, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, C_{1-6} alkoxy, C_{1-6} haloalkoxy, $-N=C(R^{10})(C_{0-5}alkylene)-R^{11}$ or $-N(R^{12})R^{13}$;

R^6 represents C_{1-6} alkyl or C_{1-6} haloalkyl;

R^7 represents C_{3-8}cycloalkyl, $-S(O)_nR^9$, phenyl, het, $-CO_2R^6$ or $C(O)N(R^a)R^b$;

R^8 represents hydroxy, C_{1-6} alkoxy, C_{1-6} haloalkoxy, cyano, $-N(R^a)R^b$ or $-O-C(O)R^6$;

R^9 represents C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-8}cycloalkyl, $-N(R^a)R^b$, phenyl or het;

R^{10} represents hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl;

R^{11} represents hydrogen, hydroxy, C_{1-3}alkoxy, $-N(R^a)R^b$, phenyl, het or C_{3-8}cycloalkyl, with the proviso that $-N=C(R^{10})(C_{0-5}alkylene)-R^{11}$ is not $-N=CH_2$;

R^{12} represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkenyl or C_{1-6} haloalkenyl;

R^{13} represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{1-6} alkenyl, C_{1-6} haloalkenyl C_{3-8}cycloalkyl, phenyl, het, $-(C_{1-6}alkylene)-R^{14}$, $-C(O)_pR^{15}$ or $-CON(R^{16})(C_{1-6}alkylene)-R^{17}$;

R^{14} represents hydroxy, C_{1-3}alkoxy, C_{1-3}haloalkoxy, C_{3-8}cycloalkyl, phenyl, het or $-N(R^a)R^b$;

R^{15} represents C_{1-6} alkyl, C_{1-6} haloalkyl or $-(C_{1-6}alkylene)-C_{1-3}alkoxy$;

R^{16} represents hydrogen, C_{1-6} alkyl or C_{1-6} haloalkyl;

R^{17} represents hydrogen or $N(R^a)R^b$;

R^a and R^b independently represent hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl or C_{2-6} haloalkenyl, or R^a additionally represents $-(C_{0-3}alkylene)-C_{3-8}$ cycloalkyl, $-(C_{0-3}alkylene)$–phenyl or $-(C_{0-3}alkylene)$–het, or together R^a and R^b form a 4- to 7-

membered ring, optionally substituted by one or more groups independently selected from halo, hydroxy, C_{1-6} alkyl, C_{1-6}haloalkyl, C_{1-6} alkoxy and C_{1-6}haloalkoxy;

R^c represents hydrogen, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} haloalkenyl, -(C_{0-3}alkylene)–C_{3-8} cycloalkyl, -(C_{0-3}alkylene)–phenyl or -(C_{0-3}alkylene)–het;

n represents an integer selected from 0, 1 and 2;

p represents an integer selected from 1 and 2;

where het represents a four- to seven-membered heterocyclic group, which is aromatic or non-aromatic and which contains one or more heteroatoms selected from nitrogen, oxygen, sulfur and mixtures thereof;

where heteroaryl represents a 5 or 6 membered aromatic ring which contains 1-3 heteroatoms selected from N, O and S or 4-N atoms to form a tetrazolyl;

where both phenyl and het may be optionally substituted, where the valence allows, by one or more substituents independently selected from halo, hydroxy, cyano, nitro, C_{1-6} alkyl, C_{1-6}haloalkyl, C_{1-6} alkenyl, C_{1-6}haloalkenyl, C_{1-6}alkoxy, C_{1-6}haloalkoxy, C_{3-8} cycloalkyl, C_{1-6} alkanoyl, C_{1-6} haloalkanoyl, C_{1-6} alkylcarbonyloxy, C_{1-6} alkoxycarbonyl and NR^aR^b;

where C_{3-8}cycloalkyl may be optionally substituted by one or more groups independently selected from halo, C_{1-6}alkyl, C_{1-6}haloalkyl, C_{1-6} alkenyl, C_{1-6}haloalkenyl, hydroxy, C_{1-6}alkoxy and C_{1-6}haloalkoxy; and

where any alkylene or alkenylene group may be optionally substituted by one or more halo.